

10/596117

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: * * * * * STN Columbus * * * * *

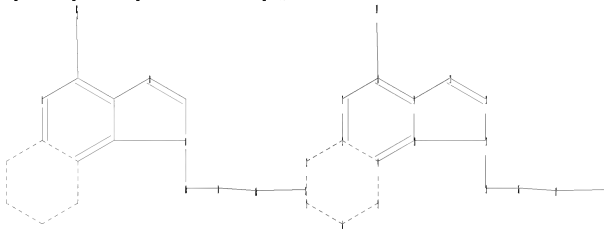
FILE 'HOME' ENTERED AT 10:46:06 ON 12 AUG 2010

=>

=> file reg

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Uploading C:\Program Files\Stnexp\Queries\10596117.str



chain nodes :

14 15 16 17 18

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13

chain bonds :

8-14 13-15 15-16 16-17 17-18

ring bonds :

1-2 1-6 2-3 3-4 3-7 4-5 4-10 5-6 7-8 8-9 9-10 9-11 10-13 11-12 12-13

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-14 9-11 10-13 11-12 12-13 13-15 15-16 16-17

17-18

normalized bonds :

3-7 4-10 7-8 8-9 9-10

isolated ring systems :

containing 1 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS

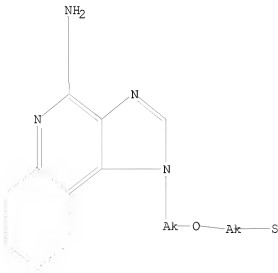
10/596117

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1 sam

SAMPLE SEARCH INITIATED 10:46:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1989 TO ITERATE

100.0% PROCESSED 1989 ITERATIONS

18 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 37105 TO 42455

PROJECTED ANSWERS: 106 TO 614

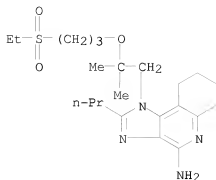
L2 18 SEA SSS SAM L1

=> d scan

L2 18 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN 1H-imidazo[4,5-c]quinolin-4-amine,
1-[2-[3-(ethylsulfonyl)propoxy]-2-methylpropyl]-6,7,8,9-tetrahydro-2-
propyl-

MF C22 H36 N4 O3 S



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> s l1 full

FULL SEARCH INITIATED 10:46:43 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 40394 TO ITERATE

100.0% PROCESSED 40394 ITERATIONS

446 ANSWERS

SEARCH TIME: 00.00.02

L3 446 SEA SSS FUL L1

=> file ca

=> s l3

L4 5 L3

=> d ibib abs fhitstr hitrn 1-5

L4 ANSWER 1 OF 5 CA COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 144:299305 CA

TITLE: Compositions comprising nitrogen-containing heterocycle immune response modifiers for mucosal vaccination

INVENTOR(S): Miller, Richard L.; Kieper, William C.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060051374	A1	20060309	US 2005-116476	20050428
CA 2564855	A1	20051028	CA 2005-2564855	20050428
WO 2006126981	A2	20061130	WO 2005-US14746	20050428

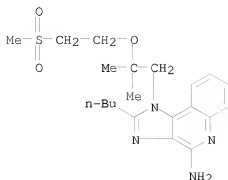
WO 2006126981 A3 20090409
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
AU 2005331250 A1 20061214 AU 2005-331250 20050428
EP 1755665 A2 20070228 EP 2005-857870 20050428
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU
BR 2005010430 A 20071030 BR 2005-10430 20050428
JP 2008050857 T 20080228 JP 2007-518053 20050428
MX 2006012451 A 20070131 MX 2006-12451 20061026
CN 101426524 A 20090506 CN 2005-80013768 20061030
IN 2006CN04378 A 20070615 IN 2006-CN4378 20061128
PRIORITY APPLN. INFO.: US 2004-566121P P 20040428
WO 2005-US14746 W 20050428

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The present invention provides pharmaceutical combinations that include small mol. immune response modifiers (IRMs) formulated for mucosal administration and an antigen formulated for mucosal administration. Addnl., the invention provides methods for immunizing a subject. Generally, the methods include administering an antigen to a mucosal surface of the subject in an amount effective, in combination with an IRM compound, to generate an immune response against the antigen; and administering an IRM compound to a mucosal surface of the subject in an amount effective, in combination with the antigen, to generate an immune response against the antigen. For example, an ovalbumin-IRM1 (N-[6-[(2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl] 1,1-dimethylethyl)amino]-6-oxohexyl]-4-azido-2-hydroxybenzamide) conjugate was prepared and suspended in PBS to a final concentration of 5 mg/mL ovalbumin and 0.5 mg/mL IRM1. Mice were immunized on Day 0 with 100 µg of the ovalbumin-IRM1 conjugate, either intranasally or i.v. Intranasal delivery of antigen plus IRM1 generated greater total ovalbumin-specific CD8+ T cell (OT-I) nos. at Day 7 than i.v. delivery in all lymphoid tissues examined. Also, intranasal delivery of IRM1 plus antigen generated greater total OT-I cell nos. at Day 7 than antigen alone, indicating a dramatic effect of the IRM in enhancing antigen specific T cell activation via that route.

IT 862844-28-8, IRM 7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(comps. comprising antigen and aminopyridine fused to five membered nitrogen-containing heterocycle as immune modifier for mucosal vaccination)

RN 862844-28-8 CA
CN 1H-Imidazo[4,5-c]quinolin-4-amine,
2-butyl-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]- (CA INDEX NAME)



IT 862844-28-8, IRM 7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (comps. comprising antigen and aminopyridine fused to five membered
 nitrogen-containing heterocycle as immune modifier for mucosal vaccination)

L4 ANSWER 2 OF 5 CA COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 143:229858 CA

TITLE: Preparation of sulfone-substituted imidazo-fused ring
 ethers as immunomodulators

INVENTOR(S): Radmer, Matthew R.; Moser, William H.; Moseman, Joan
 T.; Dellaria, Joseph F., Jr.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 208 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

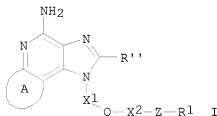
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005076783	A2	20050825	WO 2004-US40383	20041203
WO 2005076783	A3	20051229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004315771	A1	20050825	AU 2004-315771	20041203
CA 2549216	A1	20050825	CA 2004-2549216	20041203
AR 48289	A1	20060419	AR 2004-104518	20041203
EP 1694674	A2	20060830	EP 2004-821353	20041203
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
CN 1914203	A	20070214	CN 2004-80041400	20041203

JP 2007513170	T	20070524	JP 2006-542750	20041203
US 20070155767	A1	20070705	US 2006-596117	20060531
IN 2006CN01966	A	20070608	IN 2006-CN1966	20060602
PRIORITY APPLN. INFO.:			US 2003-526772P	P 20031204
			WO 2004-US40383	W 20041203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:229858; MARPAT 143:229858
 GI



AB Title compds. I [X1-2 = alkylene, alkenylene, etc.; Z = SOO-2; R1 = alk(en/yn)yl, aryl, etc.; A = fused (hetero)aryl ring, etc.; R' = H or non-interfering substituent] are prepared For instance, 2-Methyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine is prepared in 8 steps from 2-[2-[(tert-butoxycarbonyl)amino]ethoxy]ethyl methanesulfonate, 4-chloro-3-nitroquinoline and tri-Me orthoacetate. I are immunomodulators for inducing cytokine biosynthesis [no data] and useful in the treatment of diseases including viral and neoplastic diseases.

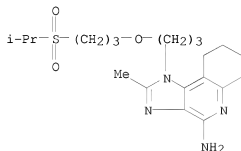
IT 1044429-39-1

RL: PRPH (Prophetic)

(Preparation of sulfone-substituted imidazo-fused ring ethers as immunomodulators)

RN 1044429-39-1 CA

CN 1H-Imidazo[4,5-c]quinolin-4-amine,
 6,7,8,9-tetrahydro-2-methyl-1-[3-[3-[(1-methylethyl)sulfonyl]propoxy]propyl]- (CA INDEX NAME)



IT 1044429-39-1 1044429-40-4 1044429-41-5
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RL: PRPH (Prophetic)

(Preparation of sulfone-substituted imidazo-fused ring ethers as immunomodulators)

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RL: PRPH (Prophetic)

(Preparation of sulfone-substituted imidazo-fused ring ethers as immunomodulators)

IT 862843-44-5P, 2-Methyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-53-6P, 1-[2-[2-(Methylsulfonyl)ethoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862843-55-8P, 2-Ethyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-65-0P, 2-Ethoxymethyl-1-[2-[2-(methylsulfonyl)ethoxy]-2-methylpropyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-87-6P, 1-[2-[3-(Methylthio)propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862843-93-4P, 2-Methyl-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-96-7P, 1-[2-Methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862844-24-4P, 1-[2-Methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine 862844-28-8P, 2-Butyl-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine 862844-36-8P, 2-Ethyl-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine 862844-39-1P, 2-(Methoxymethyl)-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-1H-imidazo[4,5-c]quinolin-4-amine

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of sulfone-substituted imidazo-fused ring ethers as immunomodulators)

IT 845638-53-1P, 2-Butyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-51-4P, 1-[2-[2-(Methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-57-0P, 2-(Ethoxymethyl)-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-60-5P, 2-(2-Methoxyethyl)-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-63-8P, 2-Ethoxymethyl-1-[2-[2-(phenylsulfonyl)ethoxy]-2-methylpropyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-67-2P, 1-[2-[2-(Methylsulfonyl)ethoxy]ethyl]-2-methyl-6,7,8,9-tetrahydro-1H-imidazo[4,5-c]quinolin-4-amine 862843-68-3P,

1-[2-[2-(Methylsulfonyl)ethoxy]ethyl]-2-propyl-6,7,8,9-tetrahydro-1H-imidazo[4,5-c]quinolin-4-amine 862843-70-7P,
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 1-[2-[3-(Methylsulfonyl)propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862843-91-2P, 1-[2-[3-[(Decane-1-yl)sulfonyl]propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862844-06-2P, 2-Hexyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862844-22-2P,
 2-(Ethoxymethyl)-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-6,7,8,9-tetrahydro-1H-imidazo[4,5-c]quinolin-4-amine 862844-26-6P,
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 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of sulfone-substituted imidazo-fused ring ethers as immunomodulators)

IT 862843-75-2P, 1-[2-[3-(Phenylthio)propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862843-78-5P,
 1-[2-[3-[(1-Methylethyl)thio]propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862843-82-1P,
 1-[2-[3-[(2-Methylphenyl)thio]propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine 862843-85-4P,
 2-Propyl-1-[2-[3-[(pyridin-2-yl)thio]propoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine 862843-92-3P,
 1-[2-[3-[(Decan-1-yl)thio]propoxy]ethyl]-2-propyl-1H-imidazo[4,5-c]quinolin-4-amine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of sulfone-substituted imidazo-fused ring ethers as immunomodulators)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
 REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CA COPYRIGHT 2010 ACS on STN

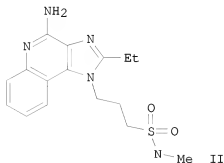
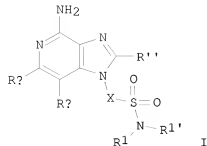
ACCESSION NUMBER: 143:153375 CA
 TITLE: Preparation of imidazoquinolinyl, imidazopyridinyl, and imidazonaphthyridinyl sulfonamides as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
 INVENTOR(S): Bonk, Jason D.; Dellaria, Joseph F., Jr.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 226 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066169	A2	20050721	WO 2004-US43447	20041223
WO 2005066169	A3	20051110		
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AU 2004312508	A1	20050721	AU 2004-312508	20041223
CA 2551399	A1	20050721	CA 2004-2551399	20041223
EP 1699788	A2	20060913	EP 2004-815514	20041223
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JP 2007517044	T	20070628	JP 2006-547410	20041223
CN 101014596	A	20070808	CN 2004-80042087	20041223
US 20090062272	A1	20090305	US 2006-596897	20060628
IN 2006CN02383	A	20070706	IN 2006-CN2383	20060630
PRIORITY APPLN. INFO.:			US 2003-533465P	P 20031230
			US 2004-555936P	P 20040324
			US 2004-581335P	P 20040618
			WO 2004-US43447	W 20041223

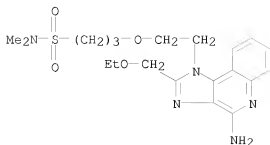
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:153375; MARPAT 143:153375

GI



- AB Title compds. I [X = CHR9, CHR9-alkylene, CHR9-alkenylene wherein alk(en)ylene are optionally interrupted by one or more O; R9 = H, alkyl; R1, R1' = independently H, (un)substituted alk(en)yl, hetero/aryl, etc.; or R1NR1' = nitrogen saturated ring; R'' = H, non-interfering substituent; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkythio, NH2 and derivs.; or RBCCRA = (un)substituted fused hetero/aryl; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II (m.p. = 225-228°) was prepared in 5 steps by amination of 4-chloro-3-nitroquinoline with N-methyl-3-aminopropane-1-sulfonamide•HCl, hydrogenation, cyclization of 1,2-diamine with tri-Et orthopropionate, and oxidation, and amination of the N-oxide (not isolated) with NH4OH. Certain I may modulate cytokine biosynthesis by inhibiting production of interferon α and/or tumor necrosis factor TNF- α when tested in an in vitro blood cell system (no data).
- IT 859874-54-7P, N,N-Dimethyl-3-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]propane-1-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of imidazoquinolinyl, imidazopyridinyl, and imidazonaphthyridinyl sulfonamides as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases)
- RN 859874-54-7 CA
- CN 1-Propanesulfonamide, 3-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]-N,N-dimethyl- (CA INDEX NAME)



IT 859874-54-7P, N,N-Dimethyl-3-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]ethoxy]propane-1-sulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazoquinolinyl, imidazopyridinyl, and imidazonaphthyridinyl sulfonamides as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases)

OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 5 CA COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 142:246181 CA

TITLE: Formulations containing an amine-based immune response modifier

INVENTOR(S): Hammerbeck, David M.; Guy, Cynthia A.; Leung, Suzanne S.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCI Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

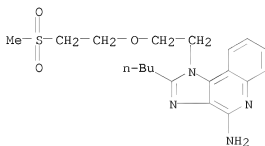
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WO 2005016275	A2	20050224	WO 2004-US25277	20040805
WO 2005016275	A3	20050414		
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004264336	A1	20050224	AU 2004-264336	20040805
CA 2534313	A1	20050224	CA 2004-2534313	20040805

US 20050070460 A1 20050331 US 2004-911800 20040805
 EP 1651190 A2 20060503 EP 2004-780166 20040805
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 JP 2007501252 T 20070125 JP 2006-522714 20040805
 US 20070292456 A1 20071220 US 2006-595049 20060118
 PRIORITY APPLN. INFO.: US 2003-493109P P 20030805
 WO 2004-US25277 W 20040805

AB Pharmaceutical formulations in an aqueous (preferably, sprayable) formulation including an immune response modifier (IRM), such as those chosen from imidazoquinoline amines, tetrahydroimidazoquinoline amines, imidazopyridine amines, 6,7-fused cycloalkylimidazopyridine amines, 1,2-bridged imidazoquinoline amines, imidazonaphthyridine amines, imidazotetrahydronaphthyridine amines, oxazoloquinoline amines, thiazoloquinoline amines, oxazolopyridine amines, thiazolopyridine amines, oxazolophthyridine amines, thiazolophthyridine amines, and 1H-imidazo dimers fused to pyridine amines, quinoline amines, tetrahydroquinoline amines, naphthyridine amines, or tetrahydronaphthyridine amines, are provided. In one embodiment, the aqueous formulations are advantageous for treatment and/or prevention of allergic rhinitis, viral infections, sinusitis, and asthma. For example, N-[2-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-1,1-dimethylethyl]methanesulfonamide (IRM 1) was prepared as a 0.375% aqueous solution capable of being nasally administered via a spray pump. The solution contained IRM 1 0.375%, CM-cellulose sodium 0.1%, benzalkonium chloride 0.02%, disodium EDTA 0.1%, L-lactic acid 1.53%, PEG 400 15%, 1N NaOH as needed for pH 4.0, and water to 100%. The IRM 1 solution (50 µL) administered to rats once 4 h before infection with humanized, non-lethal influenza virus, almost completely suppressed the virus. titer.

IT 845638-53-1
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sols. containing amine-based immunomodulators)

RN 845638-53-1 CA
 CN 1H-Imidazo[4,5-c]quinolin-4-amine,
 2-butyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]- (CA INDEX NAME)



IT 845638-53-1
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (sols. containing amine-based immunomodulators)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 5 CA COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 141:123628 CA

TITLE: Preparation of aryl/heteroaryl substituted imidazoquinolines as immunomodulators

INVENTOR(S): Hays, David S.; Niwas, Shri; Kshirsagar, Tushar; Ghosh, Tarun K.; Gupta, Shalley K.; Heppner, Philip D.; Merrill, Bryon A.; Bonk, Jason D.; Danielson, Michael E.; Gerster, John F.; Haraldson, Chad A.; Johannessen, Sarah C.; Kavanagh, Maureen A.; Lindstrom, Kyle J.; Prince, Ryan B.; Radmer, Matthew R.; Rice, Michael J.; Squire, David J.; Strong, Sarah A.; Wurst, Joshua R.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 465 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

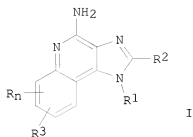
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058759	A1	20040715	WO 2003-US40373	20031218
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CA 2510375	A1	20040715	CA 2003-2510375	20031218
AU 2003301052	A1	20040722	AU 2003-301052	20031218
US 20040147543	A1	20040729	US 2003-739787	20031218
US 7091214	B2	20060815		
EP 1590348	A1	20051102	EP 2003-814164	20031218
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CN 1747953	A	20060315	CN 2003-80109659	20031218
JP 2006513212	T	20060420	JP 2004-563764	20031218
NZ 540826	A	20080731	NZ 2003-540826	20031218
MX 2005006740	A	20051005	MX 2005-6740	20050617
IN 2005CN01348	A	20070727	IN 2005-CN1348	20050620
ZA 2005005787	A	20061227	ZA 2005-5787	20050719
US 20060111387	A1	20060525	US 2006-275553	20060113
US 7598382	B2	20091006		
IN 2008CN00052	A	20080919	IN 2008-CN52	20080104
PRIORITY APPLN. INFO.:			US 2002-435889P	P 20021220
			US 2003-516331P	P 20031031
			US 2003-739787	A3 20031218
			WO 2003-US40373	W 20031218
			IN 2005-CN1348	A3 20050620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:123628

GI



AB Title compds. I (R = alkyl, alkoxy, OH, CF₃; n = 0, 1; R₁, R₂ = H, non-interfering substituent; R₃ = ArZ, aminosulfonylaryl, aminocarbonylaryl, etc.; Ar = aryl, heteroaryl; Z = bond, alkylene, alkenylene, alkynylene) which are immunomodulators, inducing cytokines biosynthesis, and inhibiting tumor necrosis factors biosynthesis, are prepared. For example, 2-butyl-1-isobutyl-7-(thiophen-3-yl)-1H-imidazo[4,5-c]quinolin-4-amine was prepared in a multi-step synthesis starting from 3-bromoaniline, tri-Et orthoformate, and Meldrum's acid. I are useful in the treatment of viral and neoplastic diseases.

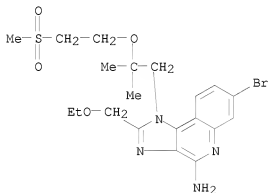
IT 723282-84-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoquinoline derivs. as immunomodulators for treatment of viral and antineoplastic diseases)

RN 723282-84-6 CA

CN 1H-Imidazo[4,5-c]quinolin-4-amine,
7-bromo-2-(ethoxymethyl)-1-[2-methyl-2-[2-(methylsulfonyl)ethoxy]propyl]-
(CA INDEX NAME)



IT 723282-84-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazoquinoline derivs. as immunomodulators for treatment of viral and antineoplastic diseases)

IT 723268-58-4P 723268-59-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of imidazoquinoline derivs. as immunomodulators for treatment

of viral and antineoplastic diseases)
 OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS
 RECORD (18 CITINGS)

=> file marpat

=> d his

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 L2 18 S L1 SAM
 L3 446 S L1 FULL

FILE 'CA' ENTERED AT 10:46:49 ON 12 AUG 2010
 L4 5 S L3

FILE 'MARPAT' ENTERED AT 10:48:41 ON 12 AUG 2010

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L5 ANSWER 1 OF 16 MARPAT COPYRIGHT 2010 ACS ON STN
 ACCESSION NUMBER: 150:56155 MARPAT
 TITLE: New process for preparation of
 1H-imidazo[4,5-c]quinoline derivatives
 INVENTOR(S): Galons, Herve; Gug, Fabienne
 PATENT ASSIGNEE(S): Institut National de la Sante et de la Recherche
 Medicale (Inserm), Fr.; Universite Paris Descartes
 SOURCE: PCT Int. Appl., 43pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2008155745	A2	20081224	WO 2008-IB52489	20080623
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EP 2009002 A1 20081231 EP 2007-12155 20070621

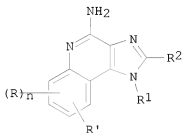
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PRIORITY APPLN. INFO.:

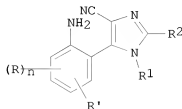
EP 2007-12155 20070621

OTHER SOURCE(S): CASREACT 150:56155

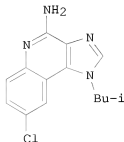
GI



I



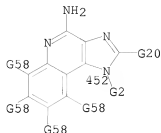
II



III

AB The present invention relates to a new synthetic route to manufacture 1H-imidazo[4,5-c]quinoline ring systems I and to new corresponding intermediates II [wherein R1 = H, alkyl, Ph, PhCH2, etc.; R2 = H, CF3, alkyl, SH, etc.; R = alkoxy, alkyl, etc.; n = 0-2; R' = H, aryl, etc.]. For example, the compound III was prepared in a multi-step synthesis comprising coupling reaction and cyclization key steps.

MSTR 4

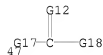


G2 = 18

G3—G4
18 19

G3 = carbon chain <containing 1 or more C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

G4 = 47



G12 = S

G17 = O

Patent location:

claim 10

Note:

or pharmaceutically acceptable acid addition salts

L5 ANSWER 2 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 148:79028 MARPAT

TITLE: Ring closing and related methods and intermediates
useful in making imidazoquinolinamines and
imidazonaphthyridinamines

INVENTOR(S): Hays, David S.; Mackey, Sonja S.; Moser, William H.;
Stoermer, Doris; Radmer, Matthew R.; Niwas, Shri

PATENT ASSIGNEE(S): Coley Pharmaceutical Group, Inc., USA

SOURCE: PCT Int. Appl., 123pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006121528	A2	20061116	WO 2006-US12022	20060331
WO 2006121528	A3	20070913		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

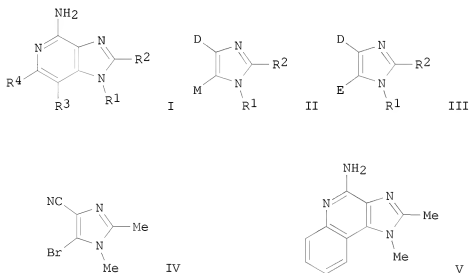
RW: AP, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, EA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, EP, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, OA, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2602853 A1 20061116 CA 2006-2602853 20060331
 EP 1863770 A2 20071212 EP 2006-769789 20060331

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

JP 2008535831 T 20080904 JP 2008-504436 20060331
 PRIORITY APPLN. INFO.: US 2005-667840P 20050401
 WO 2006-US12022 20060331

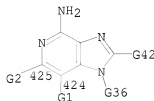
OTHER SOURCE(S): CASREACT 148:79028
 GI



AB Methods and intermediates useful for making compds. I [R1, R2 = H, alkyl, aryl, etc.; R3 and R4 taken together form (un)substituted fused benzene ring or fused pyridine ring], and the preparation of compds. I, preferably including the formation of intermediate [II or III; R1, R2 are defined as above; D = CN, CO2alkyl, CONH2, CHO, CH2OH, CH2Oalkyl; E = Cl, Br, I, OSO2CF3 and N2+BF4-; M = B(OH)2, B(Oalkyl)2, Sn(alkyl)3, etc.], were provided. For example, treating aminomalononitrile p-toluenesulfonate with dry ammonia in MeCN followed by addition of tri-Me orthoacetate, and subsequently N,N-disisopropylethylamine and methylamine hydrochloride afforded 5-amino-1,2-dimethyl-1H-imidazole-4-carbonitrile which was converted to 5-bromo-1,2-dimethyl-1H-imidazole-4-carbonitrile (IV). Coupling of 2-aminophenylboronic acid with IV followed by cyclization of

the resulting 5-(2-aminophenyl)-1,2-dimethyl-1H-imidazole-4-carbonitrile afforded the imidazoquinolinamine V.HCl.

MSTR 3



G5 = S
 G21 = carbon chain <containing 1-20 C,
 0 or more double bonds, 0 or more triple bonds>
 (opt. substd.)
 G22 = 154-142 155-144



G35 = 142 / 145

G21-G22-R 142 144 G21-G32 145

G36 = G35
 G42 = G35
 G1 +G2 = CH=CHCH=CH (opt. substd. by 1 or more G6)
 Patent location: claim 1
 Note: substitution is restricted
 Note: additional derivatization also claimed

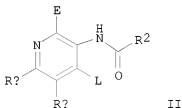
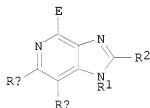
L5 ANSWER 3 OF 16 MARPAT COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 146:358853 MARPAT
 TITLE: Process for preparation of (fused)
 1H-imidazo[4,5-c]pyridines by cyclocondensation of
 acylaminoquinolines with primary amines.
 INVENTOR(S): Krepski, Larry R.; Marszalek, Gregory J.; Mackey,
 Sonja S.; Gerster, John F.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 135pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007035935      A1      20070329      WO 2006-US37317      20060922
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    CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
    GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP,
    KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN,
    MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS,
    RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ,
    UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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    CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
    GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
    KG, KZ, MD, RU, TJ, TM
AU 2006292119      A1      20070329      AU 2006-292119      20060922
CA 2623541         A1      20070329      CA 2006-2623541    20060922
EP 1937683         A1      20080702      EP 2006-815370    20060922
R:  AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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JP 2009509971      T      20090312      JP 2008-532484    20060922
MX 2008004012      A      20080603      MX 2008-4012      20080324
IN 2008DN02448      A      20080627      IN 2008-DN2448    20080324
ZA 2008002824      A      20081231      ZA 2008-2824      20080331
KR 2008048551      A      20080602      KR 2008-709576    20080422
CN 101312975       A      20081126      CN 2006-80043878  20080523
US 20090240055     A1      20090924      US 2009-992371    20090506
US 2005-720171P    20050923
US 2006-743505P    20060316
WO 2006-US37317    20060922
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):      CASREACT 146:358853
GI

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AB Title compds. [I; E = H, F, Cl, Br, iodo, OH, Ph, N(Bn)₂, etc.; Bn = PhCH₂, p-methoxybenzyl, p-methylbenzyl, 2-furylmethyl; E may form a ring with the adjacent pyridine N atom to form a tetrazolo ring; Ra, Rb = H, halo, alkyl, alkenyl, alkoxy, alkylthio, amino; RaRb = atoms to form a fused ring; R1 = R4, XR4, XYR4, XYXR4, XRS, etc.; R2 = R4, XR4, XYR4, XRS; X = (substituted) alkylene, alkenylene, alkynylene, arylene, heteroarylene, heterocyclylene; Y = O, S, SO, SO₂, OCO₂, etc.; R4 = H, alkyl, alkenyl, alkynyl, aryl, aralkenyl, heteroaryl, etc.; R5 = specified (hetero)cyclyl], were prepared by reaction of acylaminoquinolines (II; L = F, Cl, Br, iodo, PhO, alkylsulfonyl, arylsulfonyl; other variables as above) with R1NH₂ (R1 as above). Thus,

N-(4-chloroquinolin-3-yl)-2-ethoxyacetamide (preparation given), 1-amino-2-methylpropan-2-ol, and p-toluenesulfonic acid were heated together at 125° for 15 h in a pressure vessel to give 1-[2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-methylpropan-2-ol. Treatment of the latter with m-CPBA in CH₂Cl₂ and then with trichloroacetyl isocyanate in CH₂Cl₂ to give 1-[4-amino-2-(ethoxymethyl)-1H-imidazo[4,5-c]quinolin-1-yl]-2-methylpropan-2-ol.

MSTR 2

G1—G35
287

G1 = 2

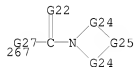
G46—G13
3G2 = NH₂

G13 = 246 / 250 / 253

$$\begin{array}{ccc} \text{G17-G18} & \text{G17-G19-G18} & \text{G17-G20} \\ 246 & 250 & 253 \end{array}$$

G17 = carbon chain <containing 1-20 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

G20 = 267



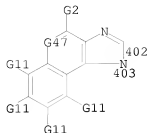
G22 = S

G27 = O

G35 = 300 / 302 / 305

$$\begin{array}{ccc} \text{G17-G18} & \text{G17-G36-G18} & \text{G17-G20} \\ 300 & 302 \quad 304 & 305 \end{array}$$

G46 = 403-287 402-3



G47 = N

Patent location:

claim 1

Note:

or pharmaceutically acceptable salts

Note:

also incorporates later claims

Note:

substitution is restricted

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 16 MARPAT COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER:

145:356778 MARPAT

TITLE:

Preparation of hydroxyalkyl substituted
imidazoquinolines as inducers of cytokine biosynthesis
for treatment of viral and neoplastic diseases

INVENTOR(S):

Kshirsagar, Tushar A.; Merrill, Bryon A.; Langer,
Scott E.; Lindstrom, Kyle J.; Johannessen, Sarah C.;
Marszalek, Gregory J.; Manske, Karl J.; Heppner,
Philip D.; Lundquist, Gregory D., Jr.

PATENT ASSIGNEE(S):

3M Innovative Properties Company, USA

SOURCE:

PCT Int. Appl., 131pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

4

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006098852	A2	20060921	WO 2006-US6223	20060222
WO 2006098852	A3	20070531		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006223634	A1	20060921	AU 2006-223634	20060222
CA 2598695	A1	20060921	CA 2006-2598695	20060222
EP 1851224	A2	20071107	EP 2006-758163	20060222

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

JP 2008543725 T 20081204

JP 2007-557115 20060222

US 20090029988 A1 20090129

US 2008-885005 20080725

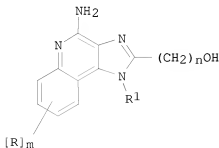
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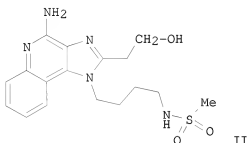
WO 2006-US6223 20060222

OTHER SOURCE(S): CASREACT 145:356778

GI



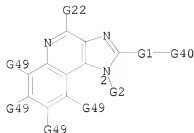
I



II

AB The title imidazoquinolines with a hydroxymethyl or hydroxyethyl substituent at the 2-position [I; m = 0-1; n = 0-2; R = halo/alkyl, alkoxy, halo; R₁ = -X-Y-R₄; -X-R₅, -X-Het; X = straight or branched alkylene optionally interrupted by one O group; Y = S, SO, SO₂, NR₈Q; R₄ = H, (un)substituted alk(en)yl, hetero/aryl, etc.; R₅ = piperidinyl, morpholinyl, etc.; Het = tetrahydropyranyl, tetrahydrofuranyl; R₈ = H, alkyl, arylalkylenyl, etc.; Q = a bond, CO, CS, SO₂, SO₂NH and derivs., etc.; and their pharmaceutically acceptable salts] were prepared as immunomodulators. E.g., a multi-step synthesis of II, starting 3-methoxypropionyl chloride from and tert-Bu N-[4-[(3-aminoquinolin-4-yl)amino]butyl]carbamate, was given. Compds. I and in some instances, their close analogs, were tested for their ability to induce cytokine biosynthesis (biol. data given for inducing IFN-α and TNF-α biosynthesis). Pharmaceutical compns. containing the compds. I, intermediates, methods of making and methods of use of these compds. as immunomodulators, for preferentially inducing IFN-α biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases are also disclosed.

MSTR 1



G2 = 18

$\text{G}^3\text{---}\text{G}^4$
18 19

G3 = 51-2 53-19

$\text{G}^{19}\text{---}\text{O}\text{---}\text{G}^{21}$
51 53

G4 = 20

$\text{G}^7\text{---}\text{G}^8$
20 21

G7 = S

G19 = alkylene <containing 1 or more C> (opt. substd.)

G21 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds> (opt. substd.)

G22 = NH2

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: substitution is restricted

Note: also incorporates later claims

L5 ANSWER 5 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 145:293057 MARPAT

TITLE: 1H-Imidazo[4,5-c]quinoline derivatives and their method of preferentially inducing the biosynthesis of interferon, preparation, pharmaceutical compositions and use for treatment of viral and neoplastic diseases

INVENTOR(S): Kshirsagar, Tushar A.; Merrill, Bryon A.; Langer, Scott E.; Lindstrom, Kyle J.; Johannessen, Sarah C.; Marszalek, Gregory J.; Wurst, Joshua R.; Manske, Karl J.; Niwas, Shri; Lundquist, Gregory D., Jr.; Heppner, Philip D.; Griesgraber, George W.; Danielson, Michael E.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

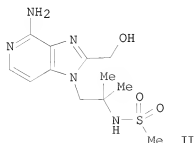
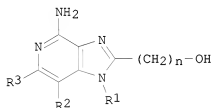
SOURCE: PCT Int. Appl., 252pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

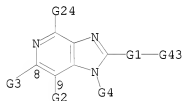
LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 4
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006091647	A2	20060831	WO 2006-US6222	20060222
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006216686	A1	20060831	AU 2006-216686	20060222
CA 2598437	A1	20060831	CA 2006-2598437	20060222
EP 1850849	A2	20071107	EP 2006-735755	20060222
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU			
JP 2008538203	T	20081016	JP 2007-557114	20060222
US 20090030031	A1	20090129	US 2008-884982	20080905
PRIORITY APPLN. INFO.:			US 2005-655380P	20050223
			US 2005-655452P	20050223
			US 2005-655495P	20050223
			US 2005-655508P	20050223
			WO 2006-US6222	20060222
OTHER SOURCE(S):		CASREACT 145:293057		
GI				



AB A method of preferentially inducing IFN- α biosynthesis in an animal comprising administering certain compds. of formula I or pharmaceutical compns. containing the compds., intermediates, methods of making, and methods of using these compds. as immunomodulators for treatment of diseases including viral and neoplastic diseases comprising preferentially inducing IFN- α biosynthesis in an animal are disclosed. Compds. of formula I wherein n is 1 or 2; R₂ and R₃ are independently H, halo, alkyl, alkenyl, alkoxy, alkylthio, NH₂ and derivs.; R₂R₃ taken together to form a fused (un)substituted (hetero)aryl ring; R₁ is H, alkyl, alkenyl, alkynyl, (hetero)aryl, arylalkenyl, alkylene, alkenylene, alkynylene, (hetero)arylene, etc.; and their pharmaceutically acceptable salts are claimed. Example compound II by hydrogenation of N-{2-[(2-chloro-3-nitroquinolin-4-yl)amino]-1,1-dimethylethyl}methanesulfonamide; the resulting N-{2-[(3-amino-2-chloroquinolin-4-yl)amino]-1,1-dimethylethyl}methanesulfonamide underwent reaction with acetoxyacetyl chloride to give N-{2-chloro-4-[2-(methanesulfonylamino)-2-methylpropyl]quinolin-3-yl}acetoxyacetamide hydrochloride, which underwent hydrolysis to give N-[2-(4-chloro-2-hydroxymethyl-1H-imidazo[4,5-c]quinolin-1-yl)-1,1-dimethylethyl]methanesulfonamide, which reacted with ammonia to give compound II. All the invention compds. were evaluated for their ability to induce cytokine biosynthesis. From the assay, it was determined that example compound II had a min. effective concentration at 3.330 μ M for IFN- α and 30.00 μ M for TNF- α , and a maximal response for IFN- α of 2250 pg/mL and for TNF- α at 121 pg/mL.

MSTR 1

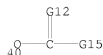


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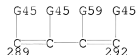
G9-G10

G9 = carbon chain <containing 1 or more C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

G10 = 40



G12 = S
 G24 = NH2
 G2 + G3 = 289-9 292-8



Patent location: claim 1
 Note: or pharmaceutically acceptable salts
 Note: substitution is restricted
 Note: additional heteroatom interruption also claimed
 Note: additional substitution and ring formation also claimed
 Note: also incorporates later claims

L5 ANSWER 6 OF 16 MARPAT COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER: 145:293056 MARPAT
 TITLE: Preparation of substituted imidazoquinolines and imidazonaphthyridines as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
 INVENTOR(S): Rice, Michael J.; Haraldson, Chad A.; Gerster, John F.; Wurst, Joshua R.; Heppner, Philip D.; Kshirsagar, Tushar A.; Merrill, Bryon A.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA; Coley Pharmaceutical Group, Inc.
 SOURCE: PCT Int. Appl., 196 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006091394	A2	20060831	WO 2006-US4713	20060210
WO 2006091394	A3	20071122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA AU 2006216997 A1 20060831 AU 2006-216997 20060210 CA 2597446 A1 20060831 CA 2006-2597446 20060210 EP 1845988 A2 20071024 EP 2006-748196 20060210				

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

JP 2008532933 T 20080821

JP 2007-555240 20060210

US 20090099161 A1 20090416

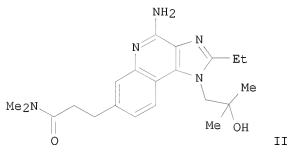
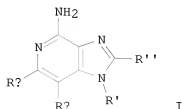
US 2008-884191 20080825

PRIORITY APPLN. INFO.:

US 2005-652239P 20050211

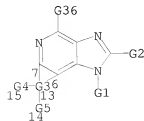
WO 2006-US4713 20060210

GI

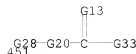


AB Title compds. [I; RACCRB = (un)substituted Ph, pyridinyl; R', R'' = independently H, non-interfering substituents; and their pharmaceutically acceptable salts] were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, reacting N,N-dimethylacrylamide with 1-(7-bromo-2-ethyl-1H-imidazo[4,5-c]quinolin-1-yl)-2-methylpropan-2-ol, followed by hydrogenation over Pd/C, oxidation and treatment with NH4OH gave aminoimidazoquinoline II. Certain I modulated cytokine biosynthesis by inducing the production of interferon α and/or tumor necrosis factor α when tested in human cells (no data).

MSTR 1



G1 = 451



G3 = 16-7 19-6 16-15 17-14



G13 = S

G20 = O

G28 = carbon chain <containing 1-20 C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

G36 = NH2

Patent location:

claim 1

Note: additional oxo formation also claimed

Note: additional oxygen interruptions also claimed

Note: substitution is restricted

Note: or pharmaceutically acceptable salts

Note: also incorporates claim 8, structure VII, claim 52,
structure X, claim 53, structure XI,

L5 ANSWER 7 OF 16 MARPAT COPYRIGHT 2010 ACS ON STN

ACCESSION NUMBER:

144:170992 MARPAT

TITLE:

Preparation of substituted imidazopyridines,
6,7,8,9-tetrahydro/imidazoquinolines and
6,7,8,9-tetrahydro/imidazonaphthyridines as inducers
of cytokine biosynthesis for treatment of viral and
neoplastic disease

INVENTOR(S):

Dellaria, Joseph F., Jr.; Kshirsagar, Tushar A.;
Niwas, Shri; Moser, William H.; Moseman, Joan T.;
Lindstrom, Kyle J.; Celebi, Abdulaziz A.; Gerster,
John F.; Heppner, Philip D.; Wurst, Joshua R.

PATENT ASSIGNEE(S):

3M Innovative Properties Company, USA

SOURCE:

PCI Int. Appl., 304 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

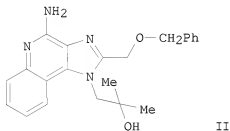
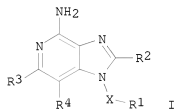
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006009832	A1	20060126	WO 2005-US21435	20050617
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,			

SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
 ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM,
 KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG,
 KZ, MD, RU, TJ, TM

US 20070259881 A1 20071108
 PRIORITY APPLN. INFO.:

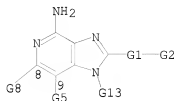
US 2006-570715 20061215
 US 2004-580989P 20040618
 WO 2005-US21435 20050617

GI



AB Title compds. [I; R1 = CH(CH2OH)OH, CH(CH2CH2OH)OH, CH(CH2OH)2; X = CHR5, CHR5-alk(en)ylene wherein the alk(en)ylene groups are optionally interrupted by one or more O's; R2 = hydroxyalkylenyl, alkoxyalkylenyl; R3, R4 = independently H, halo, alk(en)yl, NH2 and derivs., alkoxy, alkylthio; or R3 and R4 taken together form a (un)substituted fused aryl ring or fused 5- to 7-membered saturated ring; R5 = H, alkyl; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared by acylation of 1-[(3-aminoquinolin-4-yl)amino]-2-methylpropan-2-ol with benzyloxycarbonyl chloride, cyclization in the presence of methanolic ammonia, followed by oxidation and amination. Thus, I modulated cytokine biosynthesis by inducing the production of interferon α and/or tumor necrosis factor α in human cells (no data).

MSTR 5



G13 = 27

~~G14-G15~~
27

G14 = carbon chain <containing 1 or more C,
0 or more double bonds, 0 or more triple bonds>
(opt. substd.)

G15 = 35

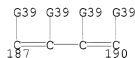
~~G22-G23~~
35 36

G22 = 41-27 42-36



G29 = S

G5 +G8 = 187-9 190-8



Patent location:

claim 88

Note:

also incorporates claim 104

Note:

substitution is restricted

Note:

or pharmaceutically acceptable salts

REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:

144:88288 MARPAT

TITLE:

Preparation of urea-substituted imidazopyridines,
imidazoquinolines, and imidazonaphthyridines as
inducers of cytokine biosynthesis for use against
viral and neoplastic diseases

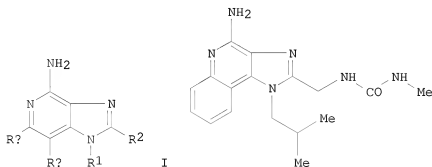
INVENTOR(S):

Kshirsagar, Tushar A.; Lundquist, Gregory D., Jr.;

Celebi, Abdulaziz A.; Griesgraber, George W.;
 Johannessen, Sarah C.; Heppner, Philip D.; Amos, David
 T.; Zimmermann, Bernhard M.; Langer, Scott E.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 205 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005123079	A2	20051229	WO 2005-US20895	20050614
WO 2005123079	A3	20060622		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VZ, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 20080015184 A1 20080117 US 2006-570567 20061213 PRIORITY APPLN. INFO.: US 2004-579352P 20040614 WO 2005-US20895 20050614				

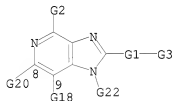
OTHER SOURCE(S): CASREACT 144:88288
 GI



AB Imidazopyridine, imidazoquinoline, and imidazonaphthyridine compds. having a urea substituent at the 2-position (one of many Markush structures shown as I; variables defined below; e.g. 1-[[4-Amino-1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-2-yl]methyl]-3-methylurea (shown as II)), pharmaceutical compns. containing the compds., intermediates, and methods of making and methods of use of these compds.

as immunomodulators (no data), for modulating cytokine biosynthesis in animals (no data) and in the treatment of diseases including viral and neoplastic diseases (no data) are disclosed. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.200 examples of I are included. For example, II was prepared in 5 steps starting with chloroacetylation of N'-(2-Methylpropyl)quinoline-3,4-diamine to give 2-(chloromethyl)-1-(2-methylpropyl)-1H-imidazo[4,5-c]quinoline, followed by amination to give 2-(chloromethyl)-1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine, followed by reaction with potassium phthalimide to give 2-[[4-amino-1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-2-yl]methyl]-1H-isindole-1,3(2H)-dione, followed by treatment with hydrazine to give 2-(aminomethyl)-1-(2-methylpropyl)-1H-imidazo[4,5-c]quinolin-4-amine, followed by urea formation with Me isocyanate. For I: R2 = -X'-N(R8a)C(R6)N(R8a)W-R2-1, -X'-N(R8a)C(R6)N(OR8a)-R2-1, -X'N(R8a)C(R6)OR2-1, et al.; X' = C1-4 alkylene and C2-4 alkenylene; R2-1 = H, C1-4-alkyl, C2-4 alkenyl, C2-4 alkynyl, aryl, arylC1-4 alkylenyl, aryloxyC1-4 alkylenyl, C1-4 alkylarylenyl, heteroaryl, heteroarylC1-4-alkylenyl, heteroaryloxyC1-4 alkylenyl, C1-4 alkylheteroarylenyl, and heterocyclyl; RA and RB = H, halogen, alkyl, alkenyl, alkoxy, alkylthio, and -N(R9)2, or RA and RB taken together form either a fused aryl ring that is (un)substituted by ≥1 Ra groups, or a fused 5 to 7 membered saturated ring that is (un)substituted by ≥1 Rc groups, or RA and RB taken together form a fused heteroaryl or 5 to 7 membered saturated ring containing one heteroatom N and S. R1 = R4, X-R4, X-Y-R4, -X-Y-X-Y-R4, and -X-R5; X = alkylene, arylene, heteroarylene, and heterocyclylene; Y = S(O)0-2, C(R6), C(R6)O, OC(R6), OC(O)O, N(R8)Q, OC(R6)N(R8), C(R6)N(OR9), et al.; addnl. details including provisos are given in the claims.

MSTR 1



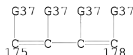
G2 = NH2
G6 = S
G22 = 72

G27-G28

G27 = alkylene <containing 1-20 C> (opt. substd.)
G28 = 82



G18+G20= 175-9 178-8

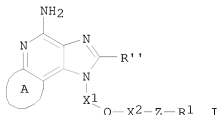


Patent location: claim 1
 Note: or pharmaceutically acceptable salts
 Note: substitution is restricted
 Note: additional substitution and ring formation also
 claimed
 Note: also incorporates later claims

L5 ANSWER 9 OF 16 MARPAT COPYRIGHT 2010 ACS ON STN
 ACCESSION NUMBER: 143:229858 MARPAT
 TITLE: Preparation of sulfone-substituted imidazo-fused ring
 ethers as immunomodulators
 INVENTOR(S): Radmer, Matthew R.; Moser, William H.; Moseman, Joan
 T.; Dellaria, Joseph F., Jr.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 208 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

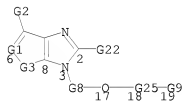
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005076783	A2	20050825	WO 2004-US40383	20041203
WO 2005076783	A3	20051229		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004315771	A1	20050825	AU 2004-315771	20041203
CA 2549216	A1	20050825	CA 2004-2549216	20041203
AR 48289	A1	20060419	AR 2004-104518	20041203
EP 1694674	A2	20060830	EP 2004-821353	20041203
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS
 CN 1914203 A 20070214 CN 2004-80041400 20041203
 JP 2007513170 T 20070524 JP 2006-542750 20041203
 US 20070155767 A1 20070705 US 2006-596117 20060531
 IN 2006CN01966 A 20070608 IN 2006-CN1966 20060602
 PRIORITY APPLN. INFO.: US 2003-526772P 20031204
 WO 2004-US40383 20041203
 OTHER SOURCE(S): CASREACT 143:229858
 GI



AB Title compds. I [X1-2 = alkylene, alkenylene, etc.; Z = SOO-2; R1 = alk(en/yn)yl, aryl, etc.; A = fused (hetero)aryl ring, etc.; R'' = H or non-interfering substituent] are prepared For instance, 2-Methyl-1-[2-[2-(methylsulfonyl)ethoxy]ethyl]-1H-imidazo[4,5-c]quinolin-4-amine is prepared in 8 steps from 2-[2-[(tert-butoxycarbonyl)amino]ethoxy]ethyl methanesulfonate, 4-chloro-3-nitroquinoline and tri-Me orthoacetate. I are immunomodulators for inducing cytokine biosynthesis [no data] and useful in the treatment of diseases including viral and neoplastic diseases.

MSTR 1



G1 = N
 G2 = NH2
 G3 = 50-6 51-8



G8 = alkylene <containing 1-10 C>
 G9 = 21 / 23 / 32

$\begin{matrix} \text{G10-G11} & & \text{G12-G14} & & \text{G17-G18} \\ 21 & & 23 \quad 24 & & 32 \quad 33 \end{matrix}$

G10 = S
 G12 = 25-18 26-24

$\begin{matrix} \text{G10-G13} \\ 25 \quad 26 \end{matrix}$

G17 = 34-18 35-33

$\begin{matrix} \text{G10-G13} \\ 34 \quad 35 \end{matrix}$

G21 = 57

$\begin{matrix} \text{C} \\ 57 \end{matrix}$ —G20

G25 = alkylene <containing 1-10 C>
 Patent location: claim 1
 Note: substitution is restricted
 Note: or pharmaceutically acceptable salts
 Note: also incorporates claims 32, 33, 34, 35 and 36
 Note: additional derivatization also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 143:153375 MARPAT
 TITLE: Preparation of imidazoquinolinyl, imidazopyridinyl, and imidazonaphthyridinyl sulfonamides as inducers of cytokine biosynthesis for treatment of viral and neoplastic diseases
 INVENTOR(S): Bonk, Jason D.; Dellaria, Joseph F., Jr.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., 226 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005066169	A2	20050721	WO 2004-US43447	20041223
WO 2005066169	A3	20051110		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004312508 A1 20050721 AU 2004-312508 20041223

CA 2551399 A1 20050721 CA 2004-2551399 20041223

EP 1699788 A2 20060913 EP 2004-815514 20041223

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

JP 2007517044 T 20070628 JP 2006-547410 20041223

CN 101014596 A 20070808 CN 2004-80042087 20041223

US 20090062272 A1 20090305 US 2006-596897 20060628

IN 2006CN02383 A 20070706 IN 2006-CN2383 20060630

PRIORITY APPLN. INFO.: US 2003-533465P 20031230

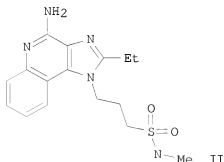
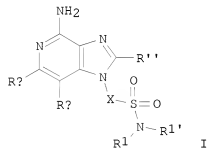
US 2004-555936P 20040324

US 2004-581335P 20040618

WO 2004-US43447 20041223

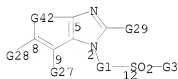
OTHER SOURCE(S): CASREACT 143:153375

GI



AB Title compds. I [X = CHR9, CHR9-alkylene, CHR9-alkenylene wherein alk(en)ylene are optionally interrupted by one or more O; R9 = H, alkyl; R1, R1' = independently H, (un)substituted alk(en)yl, hetero/aryl, etc.; or R1NR1' = nitrogen saturated ring; R'' = H, non-interfering substituent; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkythio, NH2 and derivs.; or RBCCRA = (un)substituted fused hetero/aryl; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II (m.p. = 225-228°) was prepared in 5 steps by amination of 4-chloro-3-nitroquinoline with N-methyl-3-aminopropane-1-sulfonamide•HCl, hydrogenation, cyclization of 1,2-diamine with tri-Et orthopropionate, and oxidation, and amination of the N-oxide (not isolated) with NH4OH. Certain I may modulate cytokine biosynthesis by inhibiting production of interferon α and/or tumor necrosis factor TNF- α when tested in an in vitro blood cell system (no data).

MSTR 1



G1 = 227-2 229-12

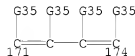
G2 = carbon chain <containing 1 or more C,
0 or more double bonds, no triple bonds>

G41 = NH2

G42 = 7-8 6-5



G27+G28 = 171-9 174-8



Patent location:

claim 1

Note:

or pharmaceutically acceptable salts

Note:

substitution is restricted

Note:

additional substitution and ring formation also

Note: claimed
also incorporates later claims

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 143:26611 MARPAT

TITLE: Preparation of oxime substituted imidazo-containing
compounds, particularly imidazoquinolines, as inducers
of cytokine biosynthesis for treatment of viral and
neoplastic diseases

INVENTOR(S): Krepski, Larry R.; Dellaria, Joseph F., Jr.; Duffy,
Daniel E.; Radmer, Matthew R.; Amos, David T.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCI Int. Appl., 200 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

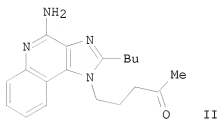
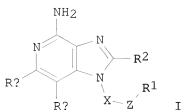
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005051317	A2	20050609	WO 2004-US39512	20041124
WO 2005051317	A3	20060511		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004293078	A1	20050609	AU 2004-293078	20041124
CA 2547020	A1	20050609	CA 2004-2547020	20041124
EP 1687307	A2	20060809	EP 2004-812098	20041124
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
BR 2004016936	A	20070116	BR 2004-16936	20041124
CN 1926138	A	20070307	CN 2004-80040954	20041124
JP 2007512370	T	20070517	JP 2006-541697	20041124
SG 148201	A1	20081231	SG 2008-8728	20041124
MX 2006005910	A	20060823	MX 2006-5910	20060524
IN 2006CN01848	A	20070608	IN 2006-CN1848	20060525
KR 2006125818	A	20061206	KR 2006-712734	20060623
ZA 2006005216	A	20070425	ZA 2006-5216	20060623
PRIORITY APPLN. INFO.:			US 2003-524961P	20031125
			US 2004-580139P	20040616
			WO 2004-US39512	20041124

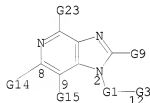
OTHER SOURCE(S): CASREACT 143:26611

GI

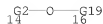


AB Title compds. [I; X = alkylene optionally interrupted by one or more -O-; Z = C:O, -C(:O)O-, -C(OR3)2-; R1 = H, (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; Q = O, S; R3 = (un)substituted alkyl, alkylene/aryl, alkylene/heteroaryl; R2 = H, (un)substituted alk(en/yn)yl, hetero/aryl, alkylenealkyl, etc.; RA, RB = independently H, halo, alk(en)yl, alkoxy, alkylthio, NH2 and derivs.; or RACCRB = (un)substituted fused aryl ring or fused 5-7-membered saturated ring; and their pharmaceutically acceptable salts], were prepared as immunomodulators for inducing cytokine biosynthesis in animals and in the treatment of diseases including viral and neoplastic diseases. For example, II was prepared by reacting 4-(2-Butyl-1H-imidazo[4,5-c]quinolin-1-yl)butyraldehyde (preparation given) with MeMgBr, followed by oxidation, reductive amination of the ketone, oxidation with m-CPBA/reaction with NH4OH. I have been found to induce cytokine biosynthesis by inhibiting production of tumor necrosis factor TNF- α when tested on an in vitro human blood cell system (no data).

MSTR 1



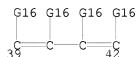
G1 = 14-2 16-12



G2 = alkylene <containing 1 or more C>
 G3 = 24



G7 = S
 G19 = alkylene <containing 1 or more C>
 G23 = NH2
 G14+G15= 39-8 42-9



Patent location: claim 1
 Note: substitution is restricted
 Note: or pharmaceutically acceptable salts
 Note: additional substitution and ring formation also claimed
 Note: also incorporates later claims

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 16 MARPAT COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 142:273978 MARPAT
 TITLE: Administration of TLR7 ligands and prodrugs thereof for treatment of infection by hepatitis c virus
 INVENTOR(S): Averett, Devron R.
 PATENT ASSIGNEE(S): Anadys Pharmaceuticals, Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 78 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050054590	A1	20050310	US 2004-931130	20040901
US 7576068	B2	20090818		
AU 2004271972	A1	20050324	AU 2004-271972	20040901
AU 2004271972	B2	20100603		
CA 2537450	A1	20050324	CA 2004-2537450	20040901
WO 2005025583	A2	20050324	WO 2004-US28236	20040901
WO 2005025583	A3	20050519		

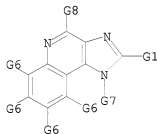
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1667694	A2	20060614	EP 2004-782670	20040901
EP 1667694	B1	20100428		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1845745	A	20061011	CN 2004-80025532	20040901
BR 2004014045	A	20061024	BR 2004-14045	20040901
JP 2007504232	T	20070301	JP 2006-525384	20040901
SG 146637	A1	20081030	SG 2008-6816	20040901
NZ 545536	A	20100430	NZ 2004-545536	20040901
AT 465742	T	20100515	AT 2004-782670	20040901
ES 2342069	T3	20100701	ES 2004-782670	20040901
ZA 2006001632	A	20080430	ZA 2006-1632	20060224
MX 2006002309	A	20060519	MX 2006-2309	20060228
CR 8273	A	20090710	CR 2006-8273	20060303
NO 2006001183	A	20060602	NO 2006-1183	20060314
US 20090298863	A1	20091203	US 2009-493737	20090629
PRIORITY APPLN. INFO.:			US 2003-500339P	20030905
			US 2003-518996P	20031110
			US 2003-518997P	20031110
			US 2004-931130	20040901
			WO 2004-US28236	20040901

AB This invention relates to methods for treating or preventing hepatitis C virus infections in mammals using Toll-Like Receptor (TLR)7 ligands and prodrugs thereof. More particularly, this invention relates to methods of orally administering a therapeutically effective amount of one or more prodrugs of TLR7 ligands for the treatment or prevention of hepatitis C viral infection. Oral administration of these TLR7 immunomodulating ligands and prodrugs thereof to a mammal provides therapeutically effective amts. and reduced undesirable side effects.

MSTR 3



G1 = 36 / 38

$$\begin{matrix} \text{G2} & \text{G3} \\ \text{36} & \end{matrix} \quad \begin{matrix} \text{G4} & \text{G2} & \text{G5} \\ \text{38} & \end{matrix}$$

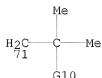
10/596117

G2 = S
G6 = 50 / 52

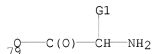
G2—G3 G4—G2—G5
50 52

G7 = 55 / 57 / 71

G2—G3 G4—G2—G5
55 57



G8 = NH2
G10 = 79



Patent location: claim 3
Note: or pharmaceutically acceptable salts, hydrates, or metabolites
Note: also incorporates claim 22, formula IIc
Note: additional heteroatom interruption also claimed
Stereochemistry: or stereoisomers or derivatives

REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 142:261328 MARPAT
TITLE: Preparation of lipid-modified imidazo[4,5-c]quinolines as immune response modifiers

INVENTOR(S): Wightman, Paul D.
PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
SOURCE: PCI Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

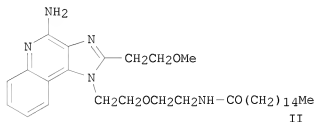
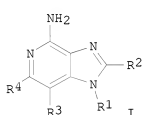
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005018555	A2	20050303	WO 2004-US26157	20040812
WO 2005018555	A3	20051208		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

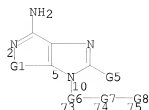
US 7427629	B2	20080923	US 2003-640904	20030814
US 20040091491	A1	20040513		
AU 2004266657	A1	20050303	AU 2004-266657	20040812
AU 2004266657	B2	20090702		
CA 2535338	A1	20050303	CA 2004-2535338	20040812
EP 1653959	A2	20060510	EP 2004-780921	20040812
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2007521317	T	20070802	JP 2006-523370	20040812
US 20060189644	A1	20060824	US 2006-595066	20060127
PRIORITY APPLN. INFO.:				
			US 2003-640904	20030814
			US 2003-515604P	20031030
			US 2004-544561P	20040213
			US 2002-403846P	20020815
			WO 2004-US26157	20040812

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AB Lipid-modified compds. of formula I [R₁ = alkylene-L-X; L = bond, linking group; X = alkyl group of at least 11 carbons; R₂ = H, non-interfering substituent; R₃, R₄ = H, halo, alkyl, alkoxy, (substituted) amino, etc.; R₃R₄ = fused (hetero)aryl ring] are prepared as immune response modifiers. The compds. can be used as immunomodulators, for inducing or inhibiting cytokine biosynthesis in animals, in the treatment of diseases including viral and neoplastic diseases, or as vaccines. Thus, II was prepared, and was used to immunize mice with conjugate ovalbumin.

MSTR 1



G1 = 23-2 24-5



G6 = 76-10 78-74



G7 = S

G9 = carbon chain <containing 1-19 C,
0 or more double bonds, 0 or more triple bonds>

Patent location: claim 1

Note: or pharmaceutically acceptable salts

Note: substitution is restricted

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 140:321358 MARPAT

TITLE: Preparation of imidazo[4,5-c]quinoline dimers as
immune response modifiers

INVENTOR(S): Griesgraber, George W.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028539	A2	20040408	WO 2003-US30372	20030925
WO 2004028539	A3	20041028		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,

LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
 TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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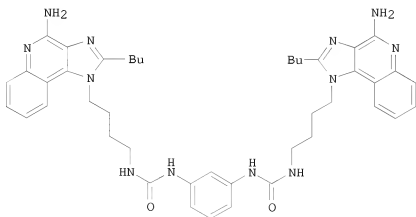
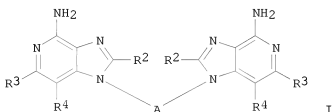
AU 2003299082	A1	20040419	AU 2003-299082	20030925
US 20040132766	A1	20040708	US 2003-670957	20030925
US 6818650	B2	20041116		
EP 1542688	A2	20050622	EP 2003-756870	20030925

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2006503068	T	20060126	JP 2004-539956	20030925
US 20050026947	A1	20050203	US 2004-912908	20041008
US 7112677	B2	20060926		

PRIORITY APPLN. INFO.:
 US 2002-413848P 20020926
 US 2003-670957 20030925
 WO 2003-US30372 20030925

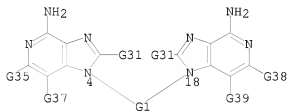
GI



AB Title compds. I [wherein R2 = H, (un)substituted alkyl, alkenyl, (hetero)aryl, etc.; R3, R4 = independently H, halo, alkoxy, alkenyl, alkylthio, amino, or R3R4 = (un)substituted (hetero)aryl ring; A = alkylene, alkenylene, alkynylene, etc.; and pharmaceutically acceptable salts thereof], and analogs (4 addnl. Markush structures), were prepared as

immune response modifiers. For example, reaction of 1-(4-aminobutyl)-2-butyl-1H-imidazo[4,5-c]quinolin-4-amine with 1,3-phenylene diisocyanate in CH₂Cl₂ under N₂ at r.t., gave II as a white solid. II stimulated interferon α and tumor necrosis factor (TNF- α) biosynthesis in human blood cell at concentration of less than or equal to 10 μ M. Thus, I and their pharmaceutical compns. induce cytokines biosynthesis and are useful in the treatment of a variety of conditions including viral diseases and neoplastic diseases.

MSTR 1



G1 = 28-4 30-18

G2-G3-G2
28 30

G2 = carbon chain <containing 4 or more C,
0 or more double bonds, 0 or more triple bonds>
G3 = 116-28 117-30

G11-G12
116 117

G11 = 133-28 134-117

G5-GQ2
133 134

G12 = 135-116 136-30

G13-Q
135 136

G13 = alkylene <containing 1-4 C>

G38+G39= CH=CHCH=CH

Patent location:

claim 1

Note:

substitution is restricted

Note:

additional ring formation also claimed

Note:

or pharmaceutically acceptable salts

Note:

heteroatom interruptions of aliphatic groups also claimed

Stereochemistry:

84,87-, 112,113-, 166,169-, 194,195-, 230,233-,

258,259-, 421, 424-, 449,450-, 490,493-,
518,519-trans

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 16 MARPAT COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 139:292250 MARPAT

TITLE: Preparation of amido ether substituted
imidazoquinolines as immune response modifiers

INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner,
Philip D.; Merrill, Bryon A.

PATENT ASSIGNEE(S): 3M Innovative Properties Co., USA

SOURCE: U.S. Pat. Appl. Publ., 50 pp., Cont.-in-part of U.S.
Ser. No. 11,670.

CODEN: USXXCO

DOCUMENT TYPE: Patent

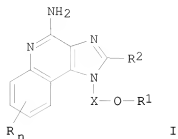
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 11

PATENT INFORMATION:

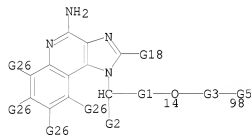
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030187016	A1	20031002	US 2002-165449	20020607
US 6664265	B2	20031216		
US 20030096835	A1	20030522	US 2001-11670	20011206
US 6660747	B2	20031209		
EP 1541572	A1	20050615	EP 2005-4019	20011206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, CY, TR				
US 20040072858	A1	20040415	US 2003-675833	20030930
US 20040067975	A1	20040408	US 2003-681711	20031007
US 20040157874	A1	20040812	US 2003-681457	20031007
US 20050143413	A1	20050630	US 2005-68207	20050228
US 7115622	B2	20061003		
US 20050148619	A1	20050707	US 2005-69033	20050228
US 7132429	B2	20061107		
US 20050209267	A1	20050922	US 2005-132537	20050519
US 7288550	B2	20071030		
US 20050234088	A1	20051020	US 2005-132900	20050519
US 7612083	B2	20091103		
JP 2010031040	A	20100212	JP 2009-255040	20091106
PRIORITY APPLN. INFO.:				
			US 2000-254218P	20001208
			US 2001-11670	20011206
			EP 2001-987297	20011206
			JP 2002-547929	20011206
			US 2001-11921	20011206
			US 2001-12599	20011206
			US 2001-13059	20011206
			US 2001-13060	20011206
			US 2002-165449	20020607
			US 2003-680989	20031007
			US 2003-681711	20031007
			US 2003-696476	20031029
			US 2003-696684	20031029

GI

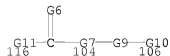


AB The title compds. [I; X = (CH₂)₂, CH(Et)CH₂, etc.; R₁ = (CH₂)₄CONMePh, (CH₂)₂NHCO(cyclohexyl), (CH₂)₂NHCO(1-naphthyl), etc.; R₂ = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, OH, halo, CF₃; n = 0-4] and their pharmaceutically acceptable salts that contain ether and amide functionality at the 1-position, and are useful as immune response modifiers, were prepared. Thus, reacting 2-(1H-imidazo[4,5-c]quinolin-1-yl)ethanol with 5-bromo-N-methyl-N-phenylpentamide followed by treatment of the resulting N-oxide with trichloroacetyl isocyanate in CH₂Cl₂, and then treating the intermediate with NaOMe in MeOH afforded I [X = (CH₂)₂; R₁ = (CH₂)₄CONMePh; R₂ = H; n = 0] which showed interferon α induction in human cells at 3.33 μM. The compds. I and compns. comprising I can induce the biosynthesis of various cytokines, and are useful in the treatment of a variety of conditions, including viral diseases and neoplastic diseases.

MSTR 1



G1 = bond
 G3 = alkylene <containing 1-20 C>
 G5 = 116



G7 = S
 G11 = bond
 Patent location: claim 1

Note: additional ring formation also claimed
 Note: or pharmaceutically acceptable salts

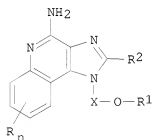
L5 ANSWER 16 OF 16 MARPAT COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 137:33295 MARPAT
 TITLE: Preparation of amido ether substituted
 imidazoquinolines as immune response modifiers
 INVENTOR(S): Crooks, Stephen L.; Griesgraber, George W.; Heppner,
 Philip D.; Merrill, Bryon A.
 PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA
 SOURCE: PCT Int. Appl., '79 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 11
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046188	A2	20020613	WO 2001-US46359	20011206
WO 2002046188	A3	20030313		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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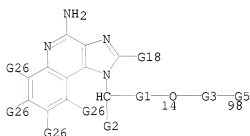
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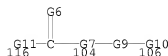
AB The title compds. [I; X = (CH₂)₂, CH(Et)CH₂, etc.; R₁ = (CH₂)₄CONMePh, (CH₂)₂NHCO(cyclohexyl), (CH₂)₂NHCO(1-naphthyl), etc.; R₂ = H, alkyl, alkenyl, etc.; R = alkyl, alkoxy, OH, halo, CF₃; n = 0-4] and their

pharmaceutically acceptable salts that contain ether and amide functionality at the 1-position, and are useful as immune response modifiers, were prepared. Thus, reacting 2-(1H-imidazo[4,5-c]quinolin-1-yl)ethanol with 5-bromo-N-methyl-N-phenylpentamide followed by treatment of the resulting N-oxide product with trichloroacetyl isocyanate in CH_2Cl_2 , and then treating the intermediate with NaOMe/MeOH afforded I [$X = (\text{CH}_2)_2$; $\text{R}_1 = (\text{CH}_2)_4\text{CONMePh}$; $\text{R}_2 = \text{H}$; $n = 0$] which showed interferon α induction at $3.33 \mu\text{M}$. The compds. I can induce the biosynthesis of various cytokines, and are useful in the treatment of a variety of conditions, including viral diseases and neoplastic diseases.

MSTR 1



G1 = bond
 G3 = alkylene <containing 1-20 C>
 G5 = 116



G7 = S
 G11 = bond
 Patent location: claim 1
 Note: additional ring formation also claimed
 Note: or pharmaceutically acceptable salts

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L1 STRUCTURE UPLOADED
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 L3 446 S L1 FULL

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L4 5 S L3

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FILE 'MARPAT' ENTERED AT 10:48:41 ON 12 AUG 2010
L5 16 S L3 FULL

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 10:50:09 ON 12 AUG 2010